

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
22 September 2005 (22.09.2005)

PCT

(10) International Publication Number
WO 2005/087766 A1

(51) International Patent Classification⁷: **C07D 471/04**,
487/04, 487/16, A61K 31/5025, A61P 31/18

(21) International Application Number:
PCT/GB2005/000746

(22) International Filing Date: 1 March 2005 (01.03.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/551,601 9 March 2004 (09.03.2004) US

(71) Applicant (for all designated States except US): **ISTITUTO DI RICERCHE DI BIOLOGIA MOLECOLARE P ANGELETTI SPA** [IT/IT]; Via Pontina KM 30.600, I-00040 Pomezia (Rome) (IT).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **DONGHI, Monica** [IT/IT]; Via Pontina KM 30.600, I-00040 Pomezia (Rome) (IT). **GARDELLI, Cristina** [IT/IT]; Via Pontina KM 30.600, I-00040 Pomezia (Rome) (IT). **JONES, Philip** [GB/IT]; Via Pontina KM 30.600, I-00040 Pomezia (Rome) (IT). **SUMMA, Vincenzo** [IT/IT]; Via Pontina KM 30.600, I-00040 Pomezia (Rome) (IT).

(74) Agent: **HORGAN, James, Michael, Frederic**; Terlings Park, Eastwick Road, Harlow Essex CM20 2QR (GB).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

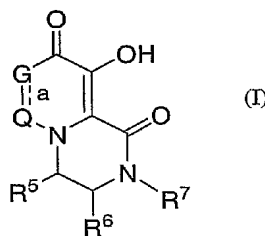
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: HIV INTEGRASE INHIBITORS



(57) Abstract: Pyridopyrazine- and pyrimidopyrazine-dione compounds are inhibitors of HIV integrase and inhibitors of HIV replication. In one embodiment, the dihydroxypyridine carboxamides are of Formula (I); wherein G, Q, bond a, R⁵, R⁶ and R⁷ are defined herein. The compounds are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. The compounds are employed against HIV infection and AIDS as compounds per se or in the form of pharmaceutically acceptable salts. The compounds and their salts can be employed as ingredients in pharmaceutical compositions, optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

WO 2005/087766 A1